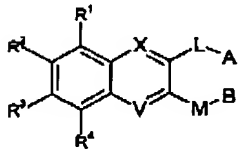
Attorney Docket No.: 5686.200-US
Express Mail Label No.: EV 246880709 US
Application No.: 09/483,504
Filed: January 14, 2000
Inventors: Teng et al.

Amendments To The Claims

The listing of claims will replace all prior versions, and listings, of the claims in the application.

Listing Of Claims:

Claim 1 (Currently amended) A compound of formula (I):



(I)

wherein

R^1, R^2, R^3 and R^4 independently are hydrogen, halogen, $-CF_3$ or $-NO_2$,

X and V are =N-,

L is $-SO_2-CH_2-$, ~~-S-, -SH, $-NH_2$ or -NH-~~,

M is $-NR^9-CH_2$, $-SO_2$-alkylene, -S-alkylene, -SO-alkylene, -NH-, $-NH_2$ or a valence bond,

wherein R^9 is hydrogen, lower alkyl, cycloalkyl or a heteroaryl which is a 3 to 10 membered ring containing one or more heteroatoms selected from nitrogen, oxygen and sulfur,

in which the cycloalkyl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, $-CH_2OH$, $-NO_2$, -CN, -C(O)OH, -O-lower alkyl, $-C(O)OCH_3$, $-C(O)NH_2$, $-OCH_2C(O)NH_2$, $-NH_2$, $-N(CH_3)_2$, $-CH_2N(CH_3)_2$, $-SO_2NH_2$, $-OCHF_2$, $-CF_3$ and $-OCF_3$,

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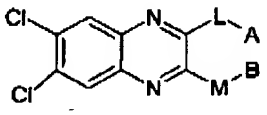
A and B independently are hydrogen or lower alkyl,

as well as any optical or geometric isomer or mixture of optical or geometric isomers, or any tautomeric form thereof or a pharmaceutically acceptable salt thereof.

Claims 2-36 (Cancelled)

Claim 37 (Currently Amended) A compound ~~of claim 1~~ of formula (V):



(V)

wherein

L is $-SO_2-CH_2-$, -S-, or -SH,

M is $-NR^9-CH_2$, $-SO_2$-alkylene, -S-alkylene, -SO-alkylene, -NH-, $-NH_2$ or a valence bond,

wherein R^9 is hydrogen, lower alkyl, cycloalkyl or a heteroaryl which is a 3 to 10 membered ring containing one or more heteroatoms selected from nitrogen, oxygen and sulfur,

in which the cycloalkyl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, $-CH_2OH$, $-NO_2$, -CN, -C(O)OH, -O-lower alkyl, $-C(O)OCH_3$, $-C(O)NH_2$, $-OCH_2C(O)NH_2$, $-NH_2$, $-N(CH_3)_2$, $-CH_2N(CH_3)_2$, $-SO_2NH_2$, $-OCHF_2$, $-CF_3$ and $-OCF_3$,

A and B independently are hydrogen or lower alkyl,

as well as any optical or geometric isomer or mixture of optical or geometric isomers, or any tautomeric form thereof or a pharmaceutically acceptable salt thereof.

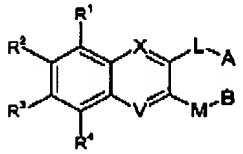
Claims 38-51 (Cancelled)

Claim 52 (Previously presented) A pharmaceutical composition comprising a compound according to claim 1 together with a pharmaceutically acceptable carrier or excipient.

Claim 53 (Previously presented) A pharmaceutical composition according to claim 52 in unit dosage form, said composition comprising from about 0.05 mg to about 1000 mg of the compound.

Claims 54-64 (Cancelled)

Claim 65 (Currently amended) A method for the treatment of disorders or diseases wherein an activation of the human GLP-1 receptor is beneficial, said method comprising administering to a subject in need thereof an effective amount of a compound ~~according to claim 1~~ of formula (I):



(I)

wherein

R^1, R^2, R^3 and R^4 independently are hydrogen, halogen, $-CF_3$ or $-NO_2$,

X and V are =N-,

L is $-SO_2-CH_2-$, -S-, -SH, $-NH_2$ or -NH-,

M is $-NR^9-CH_2$, $-SO_2$-alkylene, -S-alkylene, -SO-alkylene, -NH-, $-NH_2$ or a valence bond,

wherein R^9 is hydrogen, lower alkyl, cycloalkyl or a heteroaryl which is a 3 to 10 membered ring containing one or more heteroatoms selected from nitrogen, oxygen and sulfur,

in which the cycloalkyl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, $-CH_2OH$, $-NO_2$, -CN, -C(O)OH, -O-lower alkyl, $-C(O)OCH_3$, $-C(O)NH_2$, $-OCH_2C(O)NH_2$, $-NH_2$, $-N(CH_3)_2$, $-CH_2N(CH_3)_2$, $-SO_2NH_2$, $-OCHF_2$, $-CF_3$ and $-OCF_3$,

A and B independently are hydrogen or lower alkyl,

as well as any optical or geometric isomer or mixture of optical or geometric isomers, or any tautomeric form thereof or a pharmaceutically acceptable salt thereof.

Claim 66 (Previously presented) The method according to claim 65 wherein the effective amount of the compound is in the range of from about 0.05 mg to about 2000 mg per day.

Claim 67 (Previously presented) A pharmaceutical composition according to claim 52 in unit dosage form, said composition comprising from about 0.1 mg to about 500 mg of the compound.

Claim 68 (Previously presented) A pharmaceutical composition according to claim 52 in unit dosage form, said composition comprising from about 0.5 mg to about 200 mg of the compound.

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Claim 69 (Previously presented) The method according to claim 65 wherein the effective amount of the compound is in the range of from about 0.1 mg to about 1000 mg per day.

Claim 70 (Previously presented) The method according to claim 65 wherein the effective amount of the compound is in the range of from about 0.5 mg to about 500 mg per day.

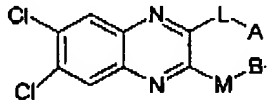
Claim 71 (New) A pharmaceutical composition comprising a compound according to claim 37 together with a pharmaceutically acceptable carrier or excipient.

Claim 72 (New) A pharmaceutical composition according to claim 71 in unit dosage form, said composition comprising from about 0.05 mg to about 1000 mg of the compound.

Claim 73 (New) A pharmaceutical composition according to claim 71 in unit dosage form, said composition comprising from about 0.1 mg to about 500 mg of the compound.

Claim 74 (New) A pharmaceutical composition according to claim 71 in unit dosage form, said composition comprising from about 0.5 mg to about 200 mg of the compound.

Claim 75 (New) A method for the treatment of disorders or diseases wherein an activation of the human GLP-1 receptor is beneficial, said method comprising administering to a subject in need thereof an effective amount of a compound of formula (V):



(V)

wherein

L is $-SO_2-CH_2-$, -S-, -SH, $-NH_2$ or -NH-,

M is $-NR^9-CH_2$, $-SO_2$-alkylene, -S-alkylene, -SO-alkylene, -NH-, $-NH_2$ or a valence bond,

wherein R^9 is hydrogen, lower alkyl, cycloalkyl or a heteroaryl which is a 3 to 10 membered ring containing one or more heteroatoms selected from nitrogen, oxygen and sulfur,

in which the cycloalkyl and heteroaryl rings may optionally be substituted with one or more substituents independently selected from halogen, lower alkyl, lower alkanoyl, -OH, $-CH_2OH$, $-NO_2$, -CN, -C(O)OH, -O-lower alkyl, $-C(O)OCH_3$, $-C(O)NH_2$, $-OCH_2C(O)NH_2$, $-NH_2$, $-N(CH_3)_2$, $-CH_2N(CH_3)_2$, $-SO_2NH_2$, $-OCHF_2$, $-CF_3$ and $-OCF_3$,

A and B independently are hydrogen or lower alkyl,

as well as any optical or geometric isomer or mixture of optical or geometric isomers, or any tautomeric form thereof or a pharmaceutically acceptable salt thereof.

Claim 76 (New) The method according to claim 75 wherein the effective amount of the compound is in the range of from about 0.05 mg to about 2000 mg per day.

Claim 77 (New) The method according to claim 75 wherein the effective amount of the compound is in the range of from about 0.1 mg to about 1000 mg per day.

Claim 78 (New) The method according to claim 75 wherein the effective amount of the compound is in the range of from about 0.5 mg to about 500 mg per day.

Claim 79 (New) A compound selected from the group consisting of
6,7-Dichloro-3-methyl-2-(methylsulfonyl)quinoxaline,
(6,7-Dichloro-3-methylsulfonylquinoxalin-2-yl)amine,

6,7-Dichloro-2-methylsulfonyl-3-(methylsulfonyl)methyl-quinoxaline,

6,7-Dichloro-2-isopropyl-3-(isopropyl-2-sulfonyl)quinoxaline ,

6,7-Dichloro-2-isopropyl-3-(methylsulfonyl)quinoxaline,

6,7-Dichloro-2-(isopropylsulfonyl)-3-[(isopropylsulfonyl)methyl]quinoxaline,

6,7-Dichloro-2-isobutyl-3-(methylsulfonyl)quinoxaline,

2-(*Sec*-butyl)-6,7-dichloro-3-(methylsulfonyl)quinoxaline,

N-[6,7-Dichloro-3-(methylsulfonyl)-2-quinoxalinyl]-N-isopropylamine,

N-(6,7-Dichloro-3-(methylsulfonyl)-2-quinoxalinyl)-N-methyl-N-isopropylamine,

N-{6,7-Dichloro-3-(methylsulfonyl)-2-quinoxalinyl}-N-ethylamine,

N-{6,7-Dichloro-3-(methylsulfonyl)-2-quinoxalinyl}-N,N-dimethylamine,

6,7-Dichloro-3-ethyl-2-(methylsulfonyl)quinoxaline,

6,7-Dichloro-2-(methylsulfonyl)-3-hexylquinoxaline,

6,7-Dichloro-2-(methylsulfonyl)-3-propylquinoxaline,

6,7-Dichloro-2-(isopropylsulfonyl)-3-propylquinoxaline,

N-[6,7-Dichloro-3-(methylsulfonyl)-2-quinoxalinyl]-N-*tert*-butylamine,

N-[6,7-Dichloro-3-(methylsulfonyl)-2-quinoxalinyl]-N-isobutylamine,

5,6,7,8-Tetrachloro-2-isopropyl-3-(methylsulfonyl)quinoxaline,

(6,7-Dichloro-3-methylsulfonylquinoxalin-2-yl)cyclopropylamine,

(6,7-Dichloro-3-methylsulfonylquinoxalin-2-yl)cyclopentylamine,

(6,7-Dichloro-3-methylsulfonylquinoxalin-2-yl)-*sec*-butylamine,

(6,7-Dichloro-)-3-(methylsulfonyl)quinoxalin-2-yl)-1-ethylpropylamine,

(7-Chloro-3-(methylsulfonyl)-6-nitroquinoxalin-2-yl)*sec*-butylamine,

(6-Chloro-3-methylsulfonyl-7-nitro-8-trifluoromethylquinoxalin-2-yl)isopropylamine,

(6,7-Dichloro-3-(methylsulfonyl)-quinoxalin-2-yl)*tert*-pentylamine,

6,7-Dichloro-2-(isopropylsulfanyl)-3-(methylsulfonyl)quinoxaline,

(5-Chloro-3-methylsulfonyl-7-trifluoromethyl-2-quinoxalin-2-yl)-*tert*-butylamine,

(3-Methylsulfonyl-6,7-dinitroquinoxalin-2-yl)-*tert*-butylamine,

6-Chloro-2-(3-methylbutylsulfonyl)quinoxaline,

(6,7-Dichloro-3-methanesulfonylquinoxalin-2-yl)-[2-(2,4-dichlorophenyl)ethyl]amine,

(6,7-Dichloro-3-methanesulfonylquinoxalin-2-yl)-[2-(2-fluorophenyl)ethyl]amine,

3-[2-(6,7-Dichloro-3-methanesulfonyl-quinoxalin-2-ylamino)ethyl]phenol,

(6,7-Dichloro-3-methanesulfonylquinoxalin-2-yl)-[2-(3-fluorophenyl)ethyl]amine,

(6,7-Dichloro-3-methanesulfonylquinoxalin-2-yl)dimethylamine,

6,7-Dichloro-2-isopropylsulfanyl-3-methanesulfonylquinoxaline,

(6-Chloro-3-methanesulfonylquinoxalin-2-yl)dimethylamine,

6-Chloro-3-isopropylsulfanyl-2-methanesulfonylquinoxaline,

(6,7-Dichloro-3-methanesulfonylquinoxalin-2-yl)-[2-(2,4-dichlorophenyl)ethyl]amine,

(6,7-Dichloro-3-methanesulfonylquinoxalin-2-yl)-[2-(2-fluorophenyl)ethyl]amine,

3-[2-(6,7-Dichloro-3-methanesulfonyl-quinoxalin-2-ylamino)ethyl]phenol,

(6,7-Dichloro-3-methanesulfonylquinoxalin-2-yl)-[2-(3-fluorophenyl)ethyl]amine,

(6,7-Dichloro-3-methanesulfonylquinoxalin-2-yl)dimethylamine,

6,7-Dichloro-2-isopropylsulfanyl-3-methanesulfonylquinoxaline,

(6-Chloro-3-methanesulfonylquinoxalin-2-yl)dimethylamine, and

6-Chloro-3-isopropylsulfanyl-2-methanesulfonylquinoxaline

as well as any optical or geometric isomer or mixture of optical or geometric isomers, or any tautomeric form thereof or a pharmaceutically acceptable salt thereof.

Claim 80 (New) A pharmaceutical composition comprising a compound according to claim 79 together with a pharmaceutically acceptable carrier or excipient.

Claim 81 (New) A pharmaceutical composition according to claim 80 in unit dosage form, said composition comprising from about 0.05 mg to about 1000 mg of the compound.

Claim 82 (New) A pharmaceutical composition according to claim 80 in unit dosage form, said composition comprising from about 0.1 mg to about 500 mg of the compound.

Claim 83 (New) A pharmaceutical composition according to claim 80 in unit dosage form, said composition comprising from about 0.5 mg to about 200 mg of the compound.

Claim 84 (New) A method for the treatment of disorders or diseases wherein an activation of the human GLP-1 receptor is beneficial, said method comprising administering to a subject in need thereof an effective amount of a compound of claim 79.

Claim 85 (New) The method according to claim 84 wherein the effective amount of the compound is in the range of from about 0.05 mg to about 2000 mg per day.

Claim 86 (New) The method according to claim 84 wherein the effective amount of the compound is in the range of from about 0.1 mg to about 1000 mg per day.

Claim 87 (New) The method according to claim 84 wherein the effective amount of the compound is in the range of from about 0.5 mg to about 500 mg per day.